CLAIMS

Subt A1

1. A spheronized beadlet comprising:
   a) about 80% to about 100% by weight of an acid labile medicament;
   b) about 0% to about 10% by weight of a disintegrant; and
   c) about 0% to about 10% by weight of a binder selected from the group consisting of sodium carboxymethylcellulose, hydroxypropylmethylcellulose, potassium alginate, sodium alginate and partially pregelatinized corn starch.

2. The spheronized beadlet of Claim 1 wherein the acid labile medicament is selected from the group consisting of 2',3'-dideoxyadenosine, 2',3'dideoxycytosine, pravstatin, erythromycin, digoxin and pancreatin.

3. The spheronized beadlet of Claim 1 wherein the acid labile medicament is 2',3'-dideoxyinosine.

4. The spheronized beadlet of Claim 1 wherein said disintegrant is sodium starch glycolate, cross-linked sodium carboxymethylcellulose, corn starch or cross-linked polyvinylpyrrolidene.

Subt A2

5. A pharmaceutical composition comprising a core and an enteric coating for said core, said core comprising about 80% to about 100% by weight of an acid labile medicament, about 0% to about 10% by weight of a disintegrant, and about 0% to about 10 % by weight of a binder selected from the group consisting of sodium carboxymethylcellulose, hydroxypropylmethylcellulose, potassium alginate, sodium alginate and partially pregelatinized corn starch.

6. The pharmaceutical composition of Claim 5 wherein said core is in the form of a beadlet.

7. The pharmaceutical composition of Claim 5 wherein the weight ratio of enteric coating to core is between about 0.05:1 to about 0.6:1.

8. The pharmaceutical composition of Claim 5 wherein said enteric coating comprises a polymer and a plasticizer.

9. The pharmaceutical composition of Claim 8 wherein said polymer is selected from the group consisting of hydroxypropylmethylcellulose phthalate, polyvinyl acetate phthalate and cellulose acetate phthalate.

10. The pharmaceutical composition of Claim 8 wherein said polymer comprises a methacrylic acid copolymer.

11. The pharmaceutical composition of Claim 10 wherein said enteric coating includes the methacrylic acid copolymer in an amount within the range of from about 5 to about 30% of the total composition weight, and said plasticizer in an amount within the range from about 0.5 to about 6% of the total composition weight.

12. The pharmaceutical composition of Claim 10 wherein said methacrylic acid copolymer is methacrylic acid copolymer.

13. The pharmaceutical composition of Claim 8 wherein said plasticizer is triethyl citrate, triacetin, tributyl sebecate, or polyethylene glycol.

14. The pharmaceutical composition of Claim 8 wherein said plasticizer is diethyl phthalate.

15. The pharmaceutical composition of Claim 8 wherein said enteric coating includes methacrylic acid copolymer and diethyl phthalate.

16. The pharmaceutical composition of Claim 5, further comprising an anti-adherent coating disposed on the exterior of said enteric coating.

17. The pharmaceutical composition of Claim 16 wherein said anti-adherent coating is a hydrophobic material.

18. The pharmaceutical composition of Claim 17 wherein the anti-adherent coating is magnesium stearate or fumed silica.

19. The pharmaceutical composition of Claim 18 wherein the anti-adherent coating is talc.

20. The pharmaceutical composition of Claim 16 wherein said anti-adherent is present in an amount within the range from about 0.1% to about 4.0% of the total composition weight.

21. The pharmaceutical composition of Claim 5 wherein said disintegrant is cross-linked sodium carboxymethylcellulose, corn starch, or cross linked polyvinlpyrrolidone.

22. The pharmaceutical composition of Claim 5 wherein said disintegrant is sodium starch glycolate.

23. The pharmaceutical composition of Claim 5 wherein said binder is alkaline.

24. The pharmaceutical composition of Claim 23 wherein said binder is sodium carboxymethylcellulose.

25. The pharmaceutical composition of Claim 5 wherein said medicament is pravastatin, erythromycin, digoxin, pancreatin, 2',3'-dideoxyadenosine, or 2',3'-dideoxycytosine.

26. The pharmaceutical composition of Claim 5 wherein said medicament is 2',3'-dideoxyinosine.

27. The pharmaceutical composition of Claim 26 wherein said core comprises about 95% by weight 2',3'-dideoxyinosine, about 1% by weight sodium carboxymethylcellulose and about 4% by weight sodium starch glycolate.

28. The pharmaceutical composition of Claim 26 wherein said composition is encapsulated in a capsule for oral administration.

29. The pharmaceutical composition of Claim 28 wherein said capsule is filled with said composition in an amount equivalent to attain a dosage of ddI required for twice daily administration.

30. The pharmaceutical composition of Claim 28 wherein said capsule is filled with said composition in an amount equivalent to attain a dosage of ddI required for once daily administration.

31. A pharmaceutical composition comprising:
a) a dissolvable capsule; and
b) the pharmaceutical composition of Claims 5, 16, or 27 which is encapsulated within said dissolvable capsule.

32. A process for preparing spheronized beadlets, comprising:
a) mixing a granulation solvent, a medicament, optionally a disintegrant, and optionally a binder to form a wet mass;
b) extruding the wet mass to form an extrudate;
c) spheronizing the extrudate to form beadlets; and
d) while spheronizing, dusting the extrudate and the forming beadlets with a dry powder containing medicament, the optional disintegrant and the optional binder, which are in the same proportions as contained in the wet mass, to form non-agglomerating beadlets; and
e) drying the non-agglomerating beadlets to form said spheronized beadlets.

33. A process for preparing a pharmaceutical composition of enterically coated beadlets, comprising:
a) mixing a granulation solvent, a medicament, optionally a disintegrant, and optionally a binder to form a wet mass;
b) extruding the wet mass to form an extrudate;
c) spheronizing the extrudate to form beadlets;
d) while spheronizing, dusting the extrudate and forming beadlets with a dry powder containing the medicament, the optional disintegrant and the optional binder, which are in the same proportions as contained in the wet mass, to form non-agglomerating beadlets;

e) drying the non-agglomerating beadlets to form dry beadlets; and

f) forming an enteric coating on the dry beadlets, thereby forming the pharmaceutical composition of enterically coated beadlets.

34. The process of Claim 32 wherein the proportions of components within the wet mass are between about 80% to about 100% by weight of medicament, between about 0% to about 10% by weight of disintegrant, and between about 0% to about 10 % by weight of binder, thereby forming high potency beadlets.

35. The process of Claim 32 wherein the medicament is an acid labile medicament.

36. The process of Claim 35 wherein the acid labile medicament is selected from the group consisting of 2',3'-dideoxyadenosine, 2',3'-dideoxycytosine, pravastatin, erythromycin, digoxin and pancreatin.

37. The process of Claim 35 wherein the acid labile medicament is 2',3'-dideoxyinosine.

38. The process of Claim 32 wherein the disintegrant is selected from the group consisting of cross-linked sodium carboxymethylcellulose, corn starch and cross-linked polyvinylpyrrolidone.

39. The process of Claim 32 wherein said disintegrant is sodium starch glycolate.

40. The process of Claim 32 wherein the binder is selected from the group consisting of hydroxypropylmethylcellulose, potassium alginate,

sodium alginate and partially pregelatinized corn starch.

41. The process of Claim 32 wherein said binder is sodium carboxymethylcellulose.

42. The process of Claim 32 wherein said granulation solvent is water.

43. The process of Claim 33 wherein the enteric coating is formed from a polymer and a plasticizer.

44. The process of Claim 43 wherein the plasticizer is selected from the group consisting of triethyl citrate, triacetin, tributyl sebecate and polyethylene glycol.

45. The process of Claim 43 wherein said plasticizer is diethyl phthalate.

46. The process of Claim 43 wherein the polymer is selected from the group consisting of methacrylic acid copolymer, hydroxypropylmethylcellulose phthalate, polyvinyl acetate phthalate and cellulose acetate phthalate.

47. The process of Claim 46 wherein said enteric coating includes methacrylic acid copolymer and diethyl phthalate.

48. The process of Claim 46 wherein said methacrylic acid polymer is methacrylic acid copolymer.

49. The process of Claim 33, further comprising the step of coating the enterically coated beadlets with an anti-adherent to form anti-adherent coated beadlets.

50. The process of Claim 49 wherein the anti-adherent is selected from the group consisting of magnesium stearate or fumed silica.

51. The process of Claim 49 wherein said anti-adherent is talc.

52. The process of Claim 49, further comprising the step of encapsulating the coated beadlets within a capsule.

53. The process of Claim 34 wherein
a) the medicament is 2',3'-dideoxyinosine;
b) the disintegrant is sodium starch glycolate; and
c) the binder is sodium carboxymethylcellulose.